

FOR OFFICIAL USE ONLY

Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 6/27/05  
Art Unit: 1624 Phone Number: 2-0663 Serial Number: 10661/48  
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK  
\*\*\*\*\*

To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following:

Title of Invention: \_\_\_\_\_

Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Date: \_\_\_\_\_

Search Topic:

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

All Biblios showing  
prep of Gefdinir

9/12/2003

\*\*\*\*\*

STAFF USE ONLY

STAFF USE ONLY	Type of Search	Vendors and cost where applicable
Searcher: _____	____ NA Sequence (#)	____ STN      ____ Dialog
Searcher Phone #: _____	____ AA Sequence (#)	____ Questel/Orbit      ____ Lexis/Nexis
Searcher Location: _____	____ Structure (#)	____ Westlaw      ____ WWW/Internet
Date Searcher Picked Up: _____	____ Bibliographic	____ In-house sequence systems
Date Completed: _____	____ Litigation	____ Commercial      ____ Oligomer      ____ Score/Length
Searcher Prep & Review Time: _____	____ Fulltext	____ Interference      ____ SPDI      ____ Encode/Transl
Online Time: _____	____ Other	____ Other (specify)

=> d que stat 16

L1 ( 1)SEA FILE=REGISTRY ABB=ON CEFDINIR/CN  
L2 ( 448)SEA FILE=HCAPLUS ABB=ON L1 OR ?CEFDINIR?  
L3 ( 102)SEA FILE=HCAPLUS ABB=ON L2 AND (?PREP? OR ?SYNTH? OR ?PURIF?  
OR ?CRYSTALLIZ?)  
L6 12 SEA FILE=CASREACT ABB=ON L2 AND ?PREP?

=> d ibib abs 16 1-12

L6 ANSWER 1 OF 12 CASREACT COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 142:23139 CASREACT  
TITLE: Process for **preparing Cefdinir**  
INVENTOR(S): Dandala, Ramesh; Korrapati, V. V. Prasada Rao;  
Sivakumaran, Meenakhshisunderam  
PATENT ASSIGNEE(S): India  
SOURCE: U.S. Pat. Appl. Publ., 6 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004242557	A1	20041202	US 2003-676914	20031001
PRIORITY APPLN. INFO.:			IN 2003-MA441	20030602

GI

/ Structure 1 in file .gra /

AB A process was disclosed for the **preparation** of the intermediate thioester, 2-mercapto-benzothiazolyl (Z)-2-(2-amino-4-thiazolyl)-2-acetyloxyiminoacetate (I), and its subsequent amidation reaction with 7-amino-3-vinyl-3-cephem-4-carboxylic acid II (R = H) or a corresponding cephem ester, such as II (R = C<sub>6</sub>H<sub>4</sub>-4-OMe, C<sub>6</sub>H<sub>4</sub>-4-NO<sub>2</sub>, CHPh<sub>2</sub>), to form the  $\beta$ -lactam antibiotic **Cefdinir** (III).

L6 ANSWER 2 OF 12 CASREACT COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 141:320013 CASREACT  
TITLE: Novel crystal of 7-[2-(2-aminothiazole-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid (syn isomer) and method for **preparation** thereof  
INVENTOR(S): Imai, Eiji; Niwa, Hiroyuki  
PATENT ASSIGNEE(S): Shiono Chemical Co. Ltd., Japan  
SOURCE: PCT Int. Appl., 41 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----

WO 2004085443 A1 20041007 WO 2004-JP3622 20040318  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
TD, TG

## PRIORITY APPLN. INFO.:

JP 2003-81273 20030324

AB Disclosed is a novel crystal (B-type crystal) of 7-[2-(2-aminothiazole-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid (a syn isomer), characterized in that it exhibits peaks at diffraction angles shown in the following Table 1, in its powder X ray diffraction pattern; Table 1 Diffraction Angle 2θ (°) approx. 11.7 approx. 16.1 approx. 18.6 approx. 21.2 approx. 22.3 approx. 24.4 approx. 26.2 and a method for **preparing** the novel crystal which comprises forming a crystal from a solution at a temperature of -5 to 5°C in an acidic state. The crystal is not bulky, exhibits good stability and good filterability, and is excellent in the solubility toward water, and thus can be **prepd** with ease.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 12 CASREACT. COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 141:123514 CASREACT

TITLE: **Preparation** of cephalosporins and their intermediates

INVENTOR(S): Datta, Debashish; Dantu, Muralikrishna; Mishra, Brijkishore; Sharma, Pollepeddi Lakshmi Narayana

PATENT ASSIGNEE(S): Lupin Limited, India

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058695	A1	20040715	WO 2002-IN245	20021226
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.:

WO 2002-IN245 20021226

OTHER SOURCE(S): MARPAT 141:123514

GI

/ Structure 2 in file .gra /

AB Novel 4-halo-2-oxyimino-3-oxo-butyric acid-N,N-dimethyl formiminium chloride chlorosulfate derivs., such as  $XCH_2COC(:NOR)COSO_2OCH:NMe_2Cl$  I [X = Cl, Br; R = H, alkyl, an easily removable hydroxyl protective group,  $CH_2COOR_5$ ,  $C(CH_3)_2COOR_5$ , wherein  $R_5 = H$ , an easily hydrolyzable ester group], were prepared as intermediates for their use in the preparation of cephalosporin antibiotics, such as II [R1 = R; R1 = H, OMe; R2 = H; R3 = H, a neg. charge or together with the  $CO_2^-$  group to which R3 is attached = ester, alkali, alkaline earth metal; R4 = H, substituent useful in cephalosporin chemical]. The process of preparing I involves reacting 4-halo-2-oxyimino-3-oxobutyric acid with N,N-dimethylformiminium chloride chlorosulfate, in an organic solvent at a temperature ranging from -30 °C to -15 °C. Thus, reaction between I and 7-aminocephalosporanic acid in  $CH_2Cl_2$  containing hexamethyldisilazane, gives 7-[4-bromo-2(Z)-methoxyimino-3-oxobutyramido]-cephalosporanic acid, which was reacted with thiourea to afford cefotaxim. The cephalosporins that may be prepared from the intermediate include cefdinir, cefditoren pivoxil, cefepime, cefetamet pivoxil, cefixime, cefmenoxime, cefodizime, cefoselis, cefotaxime, cefpirome, cefpodoxime proxetil, cefquinome, ceftazidime, ceftaram pivoxil, ceftiofur, ceftizoxime, ceftriaxone and cefuzonam.

L6 ANSWER 4 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 141:6966 CASREACT

TITLE: Process for preparing cefdinir and its amorphous hydrate

INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausahab Pandharinath; Ramasubbu, Chandrasekaran

PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046154	A1	20040603	WO 2003-IB5032	20031110
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: IN 2002-MA848 20021115  
IN 2003-MA152 20030226

OTHER SOURCE(S): MARPAT 141:6966

GI

/ Structure 3 in file .gra /

AB The present invention discloses a process for **preparing cefdinir** [I; R1 = H; R2 = CO2H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)3; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

L6 ANSWER 5 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:77137 CASREACT

TITLE: **Preparation of oxazolidinone difluorothioacetamide derivatives as antibacterial agents**

INVENTOR(S): Hester, Jackson B., Jr.; Adams, Wade J.; Stevens, Jeffrey C.; Scott, Carole; Gordeev, Mikhail F.; Singh, Upinder

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 59 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002967	A1	20040108	WO 2003-US16217	20030616
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2489411	AA	20040108	CA 2003-2489411	20030616
US 2004077626	A1	20040422	US 2003-462412	20030616
EP 1519924	A1	20050406	EP 2003-734139	20030616
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-392213P	20020628
			WO 2003-US16217	20030616

OTHER SOURCE(S): MARPAT 140:77137  
GI

/ Structure 4 in file .gra /

AB The present invention describes difluorothioacetamide oxazolidinones (shown as I; R is -CH<sub>2</sub>- or -CH<sub>2</sub>CH<sub>2</sub>-; R<sub>2</sub> and R<sub>3</sub> = H or F; X is -N- or -CH-; Y is -SO-, -SO<sub>2</sub>-, or -SONR<sub>4</sub>-; and R<sub>4</sub> is H or C1-4alkyl; e.g. II) as novel antibacterial agents (no data), and antimicrobial combination therapies for combating infective diseases caused by gram-pos. and gram-neg. bacteria. A method of **preparation** is claimed and 31 example **prepns.** are included. For example, 2,2-difluoro-N-[[[(5S)-3-[3-fluoro-4-((Z)-1-imino-1-oxidohexahydrothiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl]ethanethioamide was **prepared** from [[[(5S)-3-[3-fluoro-4-((Z)-1-imino-1-oxidohexahydrothiopyran-4-yl)phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl]amine and O-(3,3-diphenylpropyl) difluoroethanethioate (**prepared** from difluoroacetic acid and 3,3-diphenyl-1-propanol in Et<sub>2</sub>O in the presence of 4-dimethylaminopyridine and diisopropyl carbodiimide) in MeOH/CH<sub>2</sub>Cl<sub>2</sub>. In another example (method not claimed), II was **prepared** in 3 steps starting from (5S)-5-[(acetylamino)methyl]-3-[3-fluoro-4-[1-(methyylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-1,3-oxazolidin-2-one and involving intermediates (5S)-5-(aminomethyl)-3-[3-fluoro-4-[1-(methyylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-1,3-oxazolidin-2-one (by acetyl removal) and 2,2-difluoro-N-[[[(5S)-3-[3-fluoro-4-[1-(methyylimino)-1-oxido-1,4-thiazinan-4-yl]phenyl]-2-oxo-1,3-oxazolidin-5-yl)methyl]acetamide (by condensation with difluoroacetic acid) and involving oxo conversion to thioxo using Lawesson's reagent in the final step.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 140:42117 CASREACT

TITLE: An alternative procedure for **preparation** of **cefdinir**

AUTHOR(S): Gonzalez, Maritza; Rodriguez, Zalua; Tolon, Blanca; Rodriguez, Juan C.; Velez, Herman; Valdes, Barbara; Lopez, Miguel A.; Fini, Adamo

CORPORATE SOURCE: Department of Chemical Synthesis, Center of Pharmaceutical Chemistry, Atabey, Ciudad de la Habana, Playa, 200, Cuba

SOURCE: Farmaco (2003), 58(6), 409-418

CODEN: FRMCE8; ISSN: 0014-827X

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB **Cefdinir**, a broad spectrum third-generation cephalosporin for oral administration, was **prepared** by the following **synthetic** pathway: **synthesis** of diphenylmethyl 7β-amino-3-vinyl-3-cephem-4-carboxylate hydrochloride from 7-aminocephalosporanic acid (7-ACA), **preparation** of sodium 2-(2-tritylaminothiazol-4-yl)-(Z)-2-(tritylhydroxyimino) acetate from Et acetoacetate, coupling of both intermediaries to obtain diphenylmethyl 7β-[2-(2-tritylaminothiazol-4-yl)-(Z)-2-tritylhydroxyimino]-3-vinyl-3-cephem-4-carboxylate and final cleavage of trityl and diphenylmethyl protective groups. This procedure allows to obtain better yields of **cefdinir** and to avoid the use of diketene during the **synthesis** of this antibiotic by the previously reported method.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 137:125013 CASREACT  
 TITLE: **Synthesis of cefdinir**  
 AUTHOR(S): Lin, Gui-chun; Liu, Li; Ma, Ling-tai; Min, Ji-mei; Zhang, Li-he  
 CORPORATE SOURCE: Natl. Res. Lab. Natural Biomimetic Drugs, Peking Univ., Beijing, 100083, Peop. Rep. China  
 SOURCE: Hecheng Huaxue (2001), 9(5), 383-385  
 CODEN: HEHUE2; ISSN: 1005-1511  
 PUBLISHER: Hecheng Huaxue Bianjibu  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese

AB **Cefdinir** was **synthesized** via the condensation of 2-(2-aminothiazol-4-yl)-2-(Z)-(acetyimino)acetyl chloride with 7-amino-3-vinyl-3-cephem-4-carboxylic acid. Under the optimization reaction conditions 60% total yield was achieved.

L6 ANSWER 8 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 135:303724 CASREACT  
 TITLE: **Preparation of 3-vinylcephem compound from protected compounds**  
 INVENTOR(S): Kameyama, Yutaka; Fukae, Kazuhiro  
 PATENT ASSIGNEE(S): Ohtsuka Chemical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294590	A2	20011023	JP 2000-111448	20000413
WO 2001079211	A1	20011025	WO 2001-JP3182	20010413
W: CN, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1273587	A1	20030108	EP 2001-919924	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1134445	B	20040114	CN 2001-800920	20010413
HK 1048112	A1	20041126	HK 2003-100146	20030107
PRIORITY APPLN. INFO.:			JP 2000-111448	20000413
			WO 2001-JP3182	20010413
OTHER SOURCE(S):			MARPAT 135:303724	
GI				

/ Structure 5 in file .gra /

AB **Cefdinir** is **prepared** by treatment of protected 3-vinylcephem compds. I [R1-R3 = H, (un)substituted arylmethyl; R1 = R2 = R3 ≠ H] with perhalogenic acid and organic protonic acid in organic solvent. Thus, I (R1 = R3 = H, R2 = trityl) was treated with HClO4 and HCO2H at 30° for 1 h in CH2Cl2 to give 95% **cefdinir**.

L6 ANSWER 9 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:115774 CASREACT  
TITLE: **Synthesis** and antibacterial activities of  
novel C(3)-aminopyrimidinyl substituted cephalosporins  
AUTHOR(S): Lee, Chang-Seok; Oh, Seong Ho; Ryu, Eun-Jung; Kim,  
Mu-Yong; Paek, Kyung-Sook  
CORPORATE SOURCE: Life Science R & D, Research Park, L G Chemical Ltd.,  
Taejon, 305-380, S. Korea  
SOURCE: Journal of Antibiotics (2000), 53(11), 1305-1309  
CODEN: JANTAJ; ISSN: 0021-8820  
PUBLISHER: Japan Antibiotics Research Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI

/ Structure 6 in file .gra /

AB A new class of cephalosporins with C(3)-aminopyrimidinylthio substituents  
was **prepared** and found to exhibit well balanced activities against  
Gram-neg. and Gram-pos. bacteria. The MIC data on some of these new  
 $\beta$ -lactams, e.g., I and II, prove that this type of cephalosporin  
deserves further evaluation as new antibiotics against respiratory tract  
pathogens.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 132:35533 CASREACT  
TITLE: **Synthesis** and biological evaluation of new  
oral carbapenems with 1-methyl-5-oxopyrrolidin-3-  
ylthio moiety  
AUTHOR(S): Kanno, Osamu; Miyauchi, Masao; Shibayama, Takahiro;  
Ohya, Satoshi; Kawamoto, Isao  
CORPORATE SOURCE: Research Laboratories, Sankyo Co., Ltd., Tokyo,  
140-8710, Japan  
SOURCE: Journal of Antibiotics (1999), 52(10), 900-907  
CODEN: JANTAJ; ISSN: 0021-8820  
PUBLISHER: Japan Antibiotics Research Association  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The **synthesis** and biol. properties of 1 $\beta$ -methylcarbapenems  
with 1-methyl-5-oxopyrrolidin-3-ylthio group at the C-2 position were  
studied. The sodium (1R,5S,6S)-6-[(R)-1-hydroxyethyl]-1-methyl-2-[(R)-1-  
methyl-5-oxopyrrolidin-3-ylthio]-1-carbapen-2-em-3-carboxylate and its  
(S)-isomer at the 2-position show potent and well-balanced antibacterial  
activity. The pharmacokinetic parameters of the pivaloyloxymethyl esters  
of these two carbapenems were compared in mice. The in vivo potency of  
these carbapenems was compared with that of **cefdinir**. Good in  
vivo efficacy of these ester prodrugs reflected the high and prolonged  
blood levels in parent drugs achieved after oral administration to mice.

REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 12 CASREACT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 127:149040 CASREACT  
TITLE: Process for **preparation of cefdinir**  
INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung  
PATENT ASSIGNEE(S): Hanmi Pharmaceutical Co., Ltd., S. Korea; Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung  
SOURCE: PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724358	A1	19970710	WO 1996-KR250	19961226
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 174432	B1	19990218	KR 1995-58694	19951227
KR 174431	B1	19990218	KR 1995-58695	19951227
EP 874853	A1	19981104	EP 1996-943357	19961226
EP 874853	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000502700	T2	20000307	JP 1997-524230	19961226
AT 218572	E	20020615	AT 1996-943357	19961226
PT 874853	T	20020930	PT 1996-943357	19961226
ES 2175167	T3	20021116	ES 1996-943357	19961226
US 6093814	A	20000725	US 1998-68719	19980518
PRIORITY APPLN. INFO.:			KR 1995-58694	19951227
			KR 1995-58695	19951227
			WO 1996-KR250	19961226
OTHER SOURCE(S):	MARPAT 127:149040			
GI				

/ Structure 7 in file .gra /

AB **Cefdinir** I (R = H), a cephalosporin antibiotic, was **prepared** in an excellent color and purity and with a good yield. **Cefdinir** was **prepared** by N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid with thio ester II (Z = 2-benzothiazolylthio) and crystallization of the resulting ester with 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H and Me<sub>2</sub>NCOME to form crystals of I (R = CPh<sub>3</sub>). 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H.2Me<sub>2</sub>NCOME, which were then converted to **cefdinir** with the use of formic acid. Formation of the **cefdinir** amide linkage was also accomplished starting from phosphoryl ester II [Z = OP(O)(OEt)<sub>2</sub>].

L6 ANSWER 12 OF 12 CASREACT COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 114:142931 CASREACT  
TITLE: Studies on FK482 (**Cefdinir**). IV. **Synthesis** and structure-activity relationships of 7β-[(Z)-2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-substituted cephalosporin derivatives  
AUTHOR(S): Inamoto, Yoshiko; Sakane, Kazuo; Kamimura, Toshiaki;

CORPORATE SOURCE: Takaya, Takao  
New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka,  
532, Japan  
SOURCE: Yakugaku Zasshi (1990), 110(12), 908-15  
CODEN: YKKZAJ; ISSN: 0031-6903  
DOCUMENT TYPE: Journal  
LANGUAGE: Japanese  
GI

/ Structure 8 in file .gra /

AB The **synthesis** of 7 $\beta$ -[(Z)-2-(aminothiazol-4-yl)-2-hydroxyiminoacetamido]cephalosporins I (R = H, Me, Et, C.tplbond.CH, CH:CHMe, MeO, MeS, EtS, SCH:CH<sub>2</sub>) modified at the C-3 position of a cephem nucleus and the effect of the C-3 substituents on the antibacterial activity and oral absorbability are discussed. The cepheims having a C-3 substituent such as 1-propenyl, ethylthio and vinylthio group as well as FK482 (**cefdinir**) exhibited excellent antibacterial activities against both Gram-pos. and Gram-neg. bacteria. However, those compds. showed poor absorption rate after oral administration in rats. It is concluded that the vinyl moiety at the 3-position is necessary to display fairly oral absorptivity in a series of 7 $\beta$ -[(Z)-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]cephems.

=> d que stat 110

L10 32 SEA FILE=CAPLUS ABB=ON 91832-40-5/BPN,CPN,PNU,PUR,SPN

L10 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:547252 CAPLUS

DOCUMENT NUMBER: 143:65485

TITLE: Cefdinir crystal B as novel crystalline form and method for preparation

INVENTOR(S): Dandala, Ramesh; Sivakumaran, Meenakshisunderam

PATENT ASSIGNEE(S): India

SOURCE: U.S. Pat. Appl. Publ., 11 pp., Cont.-in-part of U.S. Ser. No. 634,978.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005137182	A1	20050623	US 2004-976230	20041029
US 2004242556	A1	20041202	US 2004-634978	20040224
PRIORITY APPLN. INFO.:			IN 2003-MA440	A 20030602
			US 2004-634978	A2 20040224

AB The present invention relates to novel crystalline form of Cefdinir, 7 $\beta$ -[(Z)-2-(2-amino-4-thiazolyl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid, herein referred as cefdinir crystal B, processes for preparing cefdinir crystal B, and the incorporation of cefdinir crystal B in pharmaceutical compns. A process for preparing crystalline cefdinir crystal B

comprises the steps of: reacting crystals A of cefdinir in water with trifluoroacetic acid at about 35-40°C to form cefdinir trifluoroacetic acid salt; optionally isolating the cefdinir trifluoroacetic acid salt; neutralizing the cefdinir trifluoroacetic acid salt by treatment with a base in water at a temperature between about 0- to 30°C; and isolating cefdinir crystal B by filtration.

L10 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:238740 CAPLUS

DOCUMENT NUMBER: 142:298138

TITLE: A preparation of cefdinir pyridine salt, useful for the treatment of bacterial infections

INVENTOR(S): Duerst, Richard W.; Law, Devalina; Lou, Xiaochun

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 10 pp., Cont.-in-part of U.S. Ser. No. 661,148.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005059819	A1	20050317	US 2004-778851	20040213
US 2005059818	A1	20050317	US 2003-661148	20030912
PRIORITY APPLN. INFO.:			US 2003-661148	A2 20030912

AB The invention relates to a preparation of novel pyridine salt of 7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamide]-3-vinyl-3-cephem-4-

carboxylic acid (cefdinir), useful for the treatment of bacterial infections (no biol. data). The solubility of cefdinir in pyridine was estimated

A suspension of cefdinir in pyridine was allowed to stand at room temperature. After 1 wk, the solid from the suspension was separated and the powder X-ray diffraction pattern, <sup>1</sup>H NMR, TGA, and IR spectrum of the moist solid were generated.

L10 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1037109 CAPLUS  
DOCUMENT NUMBER: 142:28168  
TITLE: Crystalline form of cefdinir  
INVENTOR(S): Kumar, Yatendra; Prasad, Mohan; Prasad, Ashok  
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
SOURCE: PCT Int. Appl., 19 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004104010	A1	20041202	WO 2004-IB1629	20040520
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: IN 2003-DE711 A 20030520

AB The invention relates to a new crystalline form of cefdinir. More particularly, it relates to the preparation of new crystalline form of cefdinir, referred to as 'Form R' and pharmaceutical compns. that include the 'Form R'. It also relates to a method of treatment of infectious diseases comprising administration of the 'Form R'. The Form R was obtained from crystalline cefdinir K salt.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:1036707 CAPLUS  
DOCUMENT NUMBER: 142:23139  
TITLE: Process for preparing Cefdinir  
INVENTOR(S): Dandala, Ramesh; Korrapati, V. V. Prasada Rao; Sivakumaran, Meenakhshisunderam  
PATENT ASSIGNEE(S): India  
SOURCE: U.S. Pat. Appl. Publ., 6 pp.  
CODEN: USXXCO  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 2004242557	A1	20041202	US 2003-676914	20031001
PRIORITY APPLN. INFO.:			IN 2003-MA441	A 20030602
OTHER SOURCE(S):	CASREACT 142:23139			
GI				

/ Structure 9 in file .gra /

AB A process was disclosed for the preparation of the intermediate thioester, 2-mercapto-benzothiazolyl (Z)-2-(2-amino-4-thiazolyl)-2-acetyloxyiminoacetate (I), and its subsequent amidation reaction with 7-amino-3-vinyl-3-cephem-4-carboxylic acid II (R = H) or a corresponding cephem ester, such as II (R = C<sub>6</sub>H<sub>4</sub>-4-OMe, C<sub>6</sub>H<sub>4</sub>-4-NO<sub>2</sub>, CHPh<sub>2</sub>), to form the  $\beta$ -lactam antibiotic Cefdinir (III).

L10 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:1036706 CAPLUS  
 DOCUMENT NUMBER: 142:28157  
 TITLE: Novel crystalline form of cefdinir  
 INVENTOR(S): Dandala, Ramesh; Sivakumaran, Meenakshisunderam  
 PATENT ASSIGNEE(S): India  
 SOURCE: U.S. Pat. Appl. Publ., 9 pp.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
US 2004242556	A1	20041202	US 2004-634978	20040224
US 2005137182	A1	20050623	US 2004-976230	20041029
PRIORITY APPLN. INFO.:			IN 2003-MA440	A 20030602
			US 2004-634978	A2 20040224

AB The present invention relates to novel crystalline form of cefdinir (cefdinir Crystal B; water content of 5.5 to 7.0% by weight), process to prepare it and the use of cefdinir Crystal B in pharmaceutical compns. A process for preparing crystalline cefdinir Crystal B comprises the steps of (i) reacting cefdinir Crystal A in water with trifluoroacetic acid at 35 to 40° to form cefdinir trifluoroacetic acid salt (CTFA salt), (ii) optionally isolating the CTFA salt, and (iii) neutralizing the CTFA salt by treatment with a base in water at a temperature between 0° and 30°, isolating cefdinir Crystal B by filtration. A pharmaceutical composition comprises a therapeutically effective amount of cefdinir Crystal B and a pharmaceutically acceptable carrier.

L10 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:817895 CAPLUS  
 DOCUMENT NUMBER: 141:320013  
 TITLE: Novel crystal of 7-[2-(2-aminothiazole-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid (syn isomer) and method for preparation thereof  
 INVENTOR(S): Imai, Eiji; Niwa, Hiroyuki

PATENT ASSIGNEE(S): Shiono Chemical Co. Ltd., Japan  
SOURCE: PCT Int. Appl., 41 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004085443	A1	20041007	WO 2004-JP3622	20040318
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: JP 2003-81273 A 20030324

OTHER SOURCE(S): CASREACT 141:320013

AB Disclosed is a novel crystal (B-type crystal) of 7-[2-(2-aminothiazole-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid (a syn isomer), characterized in that it exhibits peaks at diffraction angles shown in the following Table 1, in its powder X ray diffraction pattern; Table 1 Diffraction Angle 2θ (°) approx. 11.7 approx. 16.1 approx. 18.6 approx. 21.2 approx. 22.3 approx. 24.4 approx. 26.2 and a method for preparing the novel crystal which comprises forming a crystal from a solution at a temperature of -5 to 5°C in an acidic state. The crystal is not bulky, exhibits good stability and good filterability, and is excellent in the solubility toward water, and thus can be prepared with ease.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:565196 CAPLUS

DOCUMENT NUMBER: 141:123514

TITLE: Preparation of cephalosporins and their intermediates

INVENTOR(S): Datta, Debashish; Dantu, Muralikrishna; Mishra, Brijkishore; Sharma, Pollepeddi Lakshmi Narayana

PATENT ASSIGNEE(S): Lupin Limited, India

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004058695	A1	20040715	WO 2002-IN245	20021226
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,			

UG, US, UZ, VN, YU, ZA, ZM, ZW  
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ,  
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2002-IN245 20021226  
 OTHER SOURCE(S): CASREACT 141:123514; MARPAT 141:123514  
 GI

/ Structure 10 in file .gra /

AB Novel 4-halo-2-oxyimino-3-oxo-butyric acid-N,N-dimethyl formiminium chloride chlorosulfate derivs., such as XCH<sub>2</sub>COC(:NOR)COSO<sub>2</sub>OCH:NMe<sub>2</sub>Cl I [X = Cl, Br; R = H, alkyl, an easily removable hydroxyl protective group, CH<sub>2</sub>COOR<sub>5</sub>, C(CH<sub>3</sub>)<sub>2</sub>COOR<sub>5</sub>, wherein R<sub>5</sub> = H, an easily hydrolyzable ester group], were prepared as intermediates for their use in the preparation of cephalosporin antibiotics, such as II [R<sub>1</sub> = R; R<sub>1</sub> = H, OMe; R<sub>2</sub> = H; R<sub>3</sub> = H, a neg. charge or together with the CO<sub>2</sub>- group to which R<sub>3</sub> is attached = ester, alkali, alkaline earth metal; R<sub>4</sub> = H, substituent useful in cephalosporin chemical]. The process of preparing I involves reacting 4-halo-2-oxyimino-3-oxobutyric acid with N,N-dimethylformiminium chloride chlorosulfate, in an organic solvent at a temperature ranging from -30 °C to -15 °C. Thus, reaction between I and 7-aminocephalosporanic acid in CH<sub>2</sub>Cl<sub>2</sub> containing hexamethyldisilazane, gives 7-[4-bromo-2(Z)-methoxyimino-3-oxobutyramido]-cephalosporanic acid, which was reacted with thiourea to afford cefotaxim. The cephalosporins that may be prepared from the intermediate include cefdinir, cefditoren pivoxil, cefepime, cefetamet pivoxil, cefixime, cefmenoxime, cefodizime, cefoselis, cefotaxime, cefpirome, cefpodoxime proxetil, cefquinome, ceftazidime, cefteteram pivoxil, ceftiofur, ceftizoxime, ceftriaxone and cefuzonam.

L10 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2004:546513 CAPLUS  
 DOCUMENT NUMBER: 141:88964  
 TITLE: Process for preparing crystalline cefdinir salts  
 INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter  
 PATENT ASSIGNEE(S): Antibioticos S.p.A., Italy  
 SOURCE: PCT Int. Appl., 14 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056835	A1	20040708	WO 2003-EP13524	20031201
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,			

TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
PRIORITY APPLN. INFO.: IT 2002-MI2724 A 20021220  
OTHER SOURCE(S): MARPAT 141:88964  
GI

/ Structure 11 in file .gra /

AB Cefdinir salts, such as I.nH<sub>3</sub>PO<sub>4</sub> [R1, R2 = H; n = 1 - 3 (II)], the hydrates and solvates thereof, were prepared from cefdinir intermediates, I (R1 = benzhydryl, trityl, p-methoxybenzyl; R2 = benzhydryl, tert-Bu, p-methoxybenzyl), or crude cefdinir I (R1, R2 = H) by the treatment with phosphoric acid. Thus, I (R1 = CPh<sub>3</sub>, R2 = H) was dissolved in 85% phosphoric acid and acetonitrile, and reaction mixture was heated at 45°C for 2 h, to afford cefdinir phosphate. The use of II for the preparation and purification of cefdinir is also disclosed.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:453223 CAPLUS

DOCUMENT NUMBER: 141:6966

TITLE: Process for preparing cefdinir and its amorphous hydrate

INVENTOR(S): Deshpande, Pandurang Balwant; Khadangale, Bhausahab Pandharinath; Ramasubbu, Chandrasekaran

PATENT ASSIGNEE(S): Orchid Chemicals & Pharmaceuticals Ltd., India

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046154	A1	20040603	WO 2003-IB5032	20031110
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: IN 2002-MA848 A 20021115

IN 2003-MA152 A 20030226

OTHER SOURCE(S): CASREACT 141:6966; MARPAT 141:6966

GI

/ Structure 12 in file .gra /

AB The present invention discloses a process for preparing cefdinir [I; R1 = H; R2 = CO<sub>2</sub>H (II)] and its monohydrate via condensing 7-amino-3-cephem-4-carboxylic acid with III (X = ester, thioester, halo, etc.) in the presence of a tertiary amine and an organic solvent, followed by treatment with a base to produce I [R1 = C(Ph)<sub>3</sub>; R2 = carboxylate ion (IV)], and hydrolyzing IV, using an acid in the presence of a solvent, to produce II. Thus, reaction between III (X = OH) and 2-mercapto-5-phenyl-1,3,4-oxadiazole yielded 2-mercapto-5-phenyl-1,3,4-oxadiazolyl-(Z)-(2-aminothiazol-4-yl)-2-(trityloxyimino) acetate, which, on condensation with 7-amino-3-vinyl-3-cephem-4-carboxylic acid and subsequent hydrolysis, afforded II.

L10 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:355098 CAPLUS  
 DOCUMENT NUMBER: 140:375021  
 TITLE: Intermediate cefdinir salts  
 INVENTOR(S): Pozzi, Giovanni; Martin Gomez, Patricio; Alpegiani, Marco; Cabri, Walter  
 PATENT ASSIGNEE(S): Antibioticos S.P.A., Italy  
 SOURCE: PCT Int. Appl., 15 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004035800	A2	20040429	WO 2003-EP10718	20030926
WO 2004035800	A3	20040826		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2500791	AA	20040429	CA 2003-2500791	20030926
EP 1546155	A2	20050629	EP 2003-788921	20030926
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			IT 2002-MI2076	A 20021001
			WO 2003-EP10718	W 20030926

OTHER SOURCE(S): MARPAT 140:375021

GI

/ Structure 13 in file .gra /

AB Disclosed are salts of the general formula (I) wherein R1 is H or an amino-protecting group, R2 is and OH-protecting group, and B is NH<sub>3</sub> or an organic base, and a process for the preparation thereof. These salts are useful

intermediates for the preparation of cefdinir (II).

L10 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:162698 CAPLUS

DOCUMENT NUMBER: 140:217437

TITLE: Process for the preparation of cefdinir intermediate

INVENTOR(S): Kremminger, Peter; Wolf, Siegfried; Ludescher, Johannes

PATENT ASSIGNEE(S): Sandoz G.m.b.H., Austria

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004016623	A1	20040226	WO 2003-EP8944	20030812
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW			
RW:	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR			
EP 1554289	A1	20050720	EP 2003-787771	20030812
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			AT 2002-1223	A 20020813
			AT 2002-1588	A 20021018
			WO 2003-EP8944	W 20030812
OTHER SOURCE(S):	MARPAT 140:217437			
GI				

/ Structure 14 in file .gra /

AB A process is claimed for the synthesis of 7-[2-(2-aminothiazol-4-yl)-2-(methylcarbonyloxyimino)acetamido]-3-vinyl-cephem-4-carboxylic acid (I), in the form of a crystalline salt, such as I.HX [X = Cl<sup>-</sup>, HSO<sub>4</sub><sup>-</sup>, RYO<sub>3</sub><sup>-</sup>, H<sub>2</sub>NSO<sub>3</sub><sup>-</sup>, 1/2(SO<sub>4</sub>)<sub>2</sub><sup>-</sup>; R = alkyl, aryl; Y = S, P], and their use in the preparation of pure cefdinir. Thus, a reactive derivative of syn-2-(2-aminothiazol-4-yl)-2-(methylcarbonyloxyimino)-acetic acid, e.g., syn-2-(2-aminothiazol-4-yl)-2-(methylcarbonyloxyimino)-acetic acid mercapto-benzothiazolyl ester is reacted with 7-amino-3-vinyl-3-cephem-4-carboxylic acid in silylated form to obtain I, in which the carboxylic acid is optionally silylated. In another aspect, the present invention relates to salt of I, optionally in crystalline form, wherein the salt is selected from the group consisting of phosphate, hydrogen phosphate, mesylate, tosylate, sulfate, hydrogen sulfate and sulfamate.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:472518 CAPLUS

DOCUMENT NUMBER: 139:41841  
 TITLE: Preparation of crystalline cefdinir potassium dihydrate  
 INVENTOR(S): Kumar, Yatendra; Prasad, Mohan; Prasad, Ashok; Singh, Shailendra Kumar; Kumar, Neela Praveen  
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India  
 SOURCE: PCT Int. Appl., 16 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003050124	A1	20030619	WO 2002-IB5315	20021212
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2003091261	A1	20031106	WO 2002-IB1410	20020426
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
BR 2002015709	A	20050329	BR 2002-15709	20020426
EP 1546154	A1	20050629	EP 2002-807297	20020426
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EP 1458728	A1	20040922	EP 2002-783470	20021212
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
US 2005080255	A1	20050414	US 2003-498406	20021212
JP 2005516011	T2	20050602	JP 2003-551148	20021212
PRIORITY APPLN. INFO.: IN 2001-DE1242 A 20011213				
WO 2002-IB1410 A 20020426				
WO 2002-IB5315 W 20021212				

AB The present invention relates to a novel crystalline cefdinir potassium dihydrate (I), to a process for its preparation and to a method of preparing pure cefdinir via the crystalline salt. Thus, cefdinir was suspended in water and acetone and potassium acetate was added to the suspension to form the I.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:408080 CAPLUS

DOCUMENT NUMBER: 140:42117  
 TITLE: An alternative procedure for preparation of cefdinir  
 AUTHOR(S): Gonzalez, Maritza; Rodriguez, Zaluja; Tolon, Blanca;  
 Rodriguez, Juan C.; Velez, Herman; Valdes, Barbara;  
 Lopez, Miguel A.; Fini, Adamo  
 CORPORATE SOURCE: Department of Chemical Synthesis, Center of  
 Pharmaceutical Chemistry, Atabey, Ciudad de la Habana,  
 Playa, 200, Cuba  
 SOURCE: Farmaco (2003), 58(6), 409-418  
 CODEN: FRMCE8; ISSN: 0014-827X  
 PUBLISHER: Editions Scientifiques et Medicales Elsevier  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 140:42117  
 AB Cefdinir, a broad spectrum third-generation cephalosporin for oral  
 administration, was prepared by the following synthetic pathway: synthesis  
 of diphenylmethyl 7 $\beta$ -amino-3-vinyl-3-cephem-4-carboxylate  
 hydrochloride from 7-aminocephalosporanic acid (7-ACA), preparation of sodium  
 2-(2-tritylaminothiazol-4-yl)-(Z)-2-(tritylhydroxyimino) acetate from Et  
 acetoacetate, coupling of both intermediaries to obtain diphenylmethyl  
 7 $\beta$ -[2-(2-tritylaminothiazol-4-yl)-(Z)-2-tritylhydroxyimino]-3-vinyl-3-  
 cephem-4-carboxylate and final cleavage of trityl and diphenylmethyl  
 protective groups. This procedure allows to obtain better yields of  
 cefdinir and to avoid the use of diketene during the synthesis of this  
 antibiotic by the previously reported method.  
 REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 2003:334829 CAPLUS  
 DOCUMENT NUMBER: 138:343889  
 TITLE: Novel pharmaceutical compounds containing drugs bound  
 to polypeptides  
 INVENTOR(S): Picariello, Thomas  
 PATENT ASSIGNEE(S): New River Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 4662 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 12  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003034980	A2	20030501	WO 2001-US43089	20011114
WO 2003034980	C1	20031120		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2428971	AA	20030501	CA 2001-2428971	20011114
EP 1401374	A1	20040331	EP 2001-274606	20011114
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,			

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
 PRIORITY APPLN. INFO.: US 2000-274622P P 20001114  
 US 2000-247622P P 20001114  
 WO 2001-US43089 W 20011114

AB Comps. comprising polypeptides and drugs covalently attached to the polypeptide are disclosed. Also provided is a method for delivery of these drugs to a patient comprising administering to the patient a composition comprising a polypeptide and a drug covalently attached to the polypeptide. Also provided is a method for protecting drugs from degradation comprising covalently attaching them to a polypeptide. Also provided is a method for controlling release of drugs from a composition comprising covalently attaching them to the polypeptide.

L10 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2003:228449 CAPLUS  
 DOCUMENT NUMBER: 139:169449  
 TITLE: Determination of cefdinir and its related substances by HPLC  
 AUTHOR(S): Wang, Xing-lin  
 CORPORATE SOURCE: Tianjin Institute of Pharmaceutical Research, Tianjin, 300193, Peop. Rep. China  
 SOURCE: Zhongguo Xinyao Zazhi (2003), 12(2), 114-117  
 CODEN: ZXZHA6; ISSN: 1003-3734  
 PUBLISHER: Zhongguo Xinyao Zazhishe  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Chinese

AB A HPLC method for the determination of cefdinir and its related substances was established. A C18 column (250 mm + 4.6mm, 5µm) was used. The mobile phase was the mixture of 0.025 mol·L<sup>-1</sup> di-ammonium hydrogen phosphate adjusted to pH 5.0 with phosphoric acid and acetonitrile (89:11). The UV detection wavelength was 225 nm. The method was proved to be selective for separation of cefdinir, its byproducts, degradation products and E-isomer. The method is simple and selective, and suitable for the determination of cefdinir and its impurities.

L10 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:946292 CAPLUS  
 DOCUMENT NUMBER: 138:13981  
 TITLE: Process for the preparation of high purity cefdinir via formations of crystalline acid salts  
 INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Kim, Hong Sun; Park, Chul Huyn; Park, Gha Seung; Kim, Cheol Kyung  
 PATENT ASSIGNEE(S): Hanmi Pharm. Co., Ltd., S. Korea  
 SOURCE: PCT Int. Appl., 19 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098884	A1	20021212	WO 2002-KR1064	20020605
W: CN, JP, US				
RW: AT, BE, CH, PT, SE, TR				
KR 2002092612	A	20021212	KR 2001-31339	20010605
EP 1392703	A1	20040303	EP 2002-730990	20020605

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, FI, CY, TR

CN 1512996	A	20040714	CN 2002-811334	20020605
JP 2004534053	T2	20041111	JP 2003-502005	20020605
US 2004210049	A1	20041021	US 2003-479291	20031125
PRIORITY APPLN. INFO.:			KR 2001-31339	A 20010605
			WO 2002-KR1064	W 20020605

GI

/ Structure 15 in file .gra /

AB High purity cefdinir is prepared in a high yield by a process comprising the steps of: treating a cefdinir intermediate with a formic acid-sulfuric acid mixture or a formic acid-methanesulfonic acid mixture to obtain a crystalline

salt of cefdinir I [HX = H<sub>2</sub>SO<sub>4</sub>, MeSO<sub>3</sub>H] and reacting the crystalline salt with a base in a solvent. Thus, crystalline cefdinir.TsOH.2DMAC was prepared by an amidation reaction of (Z)-2-amino- $\alpha$ -[(triphenylmethoxy)imino]-4-thiazoleethanethioic acid S-2-benzothiazolyl ester with 7-amino-3-vinyl-3-cephem-4-carboxylic acid using Bu<sub>3</sub>N in N,N-dimethylacetamide (DMAC), followed by treatment with TsOH. Crystalline cefdinir.TsOH.2DMAC was converted to crystalline cefdinir.H<sub>2</sub>SO<sub>4</sub> in 91% yield using 90% HCO<sub>2</sub>H, 98% H<sub>2</sub>SO<sub>4</sub> and MeCN. 99.9% Pure cefdinir was then obtained by suspending crystalline cefdinir.H<sub>2</sub>SO<sub>4</sub> in H<sub>2</sub>O and adjusting the pH to 3.4 to 3.6 using Na<sub>2</sub>CO<sub>3</sub>. Also, 99.8% pure cefdinir was prepared via a similar sequence in which the intermediate salt was cefdinir.MeSO<sub>3</sub>H.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:449666 CAPLUS

DOCUMENT NUMBER: 137:20252

TITLE: Process for producing anhydrous aminothiazole derivatives by dehydration in ketone or acetonitrile solvent

INVENTOR(S): Ono, Hiroki; Hayashi, Masaru; Ohnishi, Masaru; Ohkawa, Kazuo; Kitayama, Masato

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046175	A1	20020613	WO 2001-JP10356	20011128
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,			

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
CA 2430840 AA 20020613 CA 2001-2430840 20011128  
AU 2002022553 A5 20020618 AU 2002-22553 20011128  
EP 1340751 A1 20030903 EP 2001-999567 20011128  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
US 2004034233 A1 20040219 US 2003-432605 20030603  
US 6878827 B2 20050412  
PRIORITY APPLN. INFO.: JP 2000-368319 A 20001204  
WO 2001-JP10356 W 20011128  
OTHER SOURCE(S): MARPAT 137:20252  
GI

/ Structure 16 in file .gra /

AB Disclosed is a novel process for industrially producing an anhydrous 2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetic acid (I; R1 = acyl, protected carboxy-lower alkyl, alkyl) which is characterized in that I hydrate is treated in ketone solvent or MeCN. Anhydrous I is reacted with halogenating agent such as PCl5, converted into acid chloride, and then reacted with 7-aminocephem compound to prepare a broad spectrum antibacterial agent (no data). An amount of halogenating agent required is reduced to .apprx.1 to 1.2 equiv compared to .apprx.3 equiv when I hydrate is used. Thus, 20.0 g syn-2-(2-aminothiazol-4-yl)-2-acetoxyiminoacetic acid (II) dihydrate was suspended in 200 mL acetone with stirring and heated under reflux at 55-56° for 1 h, and cooled at 5°, followed by filtration of precipitated crystals, an washing and vacuum-drying, to give 16.4 g anhydrous crystals of II. II (12.5 g) was suspended in 125 mL CH2Cl2 with stirring, cooled at -20 to -25°, treated with 13.6 g PCl5, and allowed to react at the same temperature, followed by filtration of precipitated crystals, washing with CH2Cl2, and vacuum-drying, to give 14.6 g 2-(2-aminothiazol-4-yl)-2-(acetoxyimino)acetyl chloride hydrochloride (III). 7-Amino-3-vinyl-3-cephem-4-carboxylic acid (4.52 g) and 10.2 g 1,3-bis(trimethylsilyl)urea were suspended in 80 mL EtOAc, heated under reflux for 120 h for silylation, cooled at -20°, followed by adding 6.25 g III, and the resulting mixture was allowed to react for 30 min to give 95% 7-[syn-2-(2-aminothiazol-4-yl)-2-(acetoxyimino)acetamido]-3-vinyl-3-cephem-4-carboxylic acid.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:767504 CAPLUS

DOCUMENT NUMBER: 135:303724

TITLE: Preparation of 3-vinylcephem compound from protected compounds

INVENTOR(S): Kameyama, Yutaka; Fukae, Kazuhiro

PATENT ASSIGNEE(S): Ohtsuka Chemical Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001294590	A2	20011023	JP 2000-111448	20000413
WO 2001079211	A1	20011025	WO 2001-JP3182	20010413
W: CN, KR				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1273587	A1	20030108	EP 2001-919924	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
CN 1134445	B	20040114	CN 2001-800920	20010413
HK 1048112	A1	20041126	HK 2003-100146	20030107
PRIORITY APPLN. INFO.:			JP 2000-111448	A 20000413
			WO 2001-JP3182	W 20010413
OTHER SOURCE(S):			CASREACT 135:303724; MARPAT 135:303724	
GI				

/ Structure 17 in file .gra /

AB Cefdinir is prepared by treatment of protected 3-vinylcephem compds. I [R1-R3 = H, (un)substituted arylmethyl; R1 = R2 = R3 ≠ H] with perhalogenic acid and organic protonic acid in organic solvent. Thus, I (R1 = R3 = H, R2 = trityl) was treated with HClO<sub>4</sub> and HCO<sub>2</sub>H at 30° for 1 h in CH<sub>2</sub>Cl<sub>2</sub> to give 95% cefdinir.

L10 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1999:708773 CAPLUS  
 DOCUMENT NUMBER: 131:327498  
 TITLE: A method for crystallizing a β-lactam antibiotic  
 INVENTOR(S): Van Der Does, Thomas; Kuipers, Rienk Hendrik  
 PATENT ASSIGNEE(S): DSM N.V., Neth.; Van Der Does, Thomas  
 SOURCE: PCT Int. Appl., 22 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9955710	A1	19991104	WO 1999-NL246	19990427
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9935395	A1	19991116	AU 1999-35395	19990427
BR 9910085	A	20001226	BR 1999-10085	19990427
TR 200003131	T2	20010122	TR 2000-200003131	19990427
EP 1075479	A1	20010214	EP 1999-917236	19990427
R: AT, BE, ES, FR, GB, IT, NL				
PRIORITY APPLN. INFO.:			EP 1998-201398	A 19980429
			WO 1999-NL246	W 19990427

OTHER SOURCE(S): MARPAT 131:327498

AB The invention relates to a method for crystallizing a β-lactam, wherein the

$\beta$ -lactam is crystallized from a nitric acid solution E.g., at 20°, cefaclor monohydrate (11.0 g) was suspended in water (55 mL) and 4M HNO<sub>3</sub> (8.1 g) was added to give a pH of 1.0. In order to dissolve all material, water (31 mL) was added while the pH was maintained at 1.0 using 4M HNO<sub>3</sub> (2.5 g). Cefaclor monohydrate was crystallized by adding a 25% solution of NH<sub>4</sub>OH

(3.8 mL) until the pH value of 6.2 was reached. The crystals thus produced were isolated by filtration, washed with water and dried under vacuum to give 8.8 g cefaclor monohydrate. The mother liquor (110 g) contained 2.2 g of dissolved cefaclor monohydrate.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1998:682396 CAPLUS

DOCUMENT NUMBER: 129:275784

TITLE: synthesis of crystalline dicyclohexylamine salt of cefdinir

INVENTOR(S): Sturm, Hubert; Wolf, Siegfried; Ludescher, Johannes

PATENT ASSIGNEE(S): Biochemie G.m.b.H., Austria

SOURCE: PCT Int. Appl., 14 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9845299	A1	19981015	WO 1998-EP1953	19980402
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AT 9700570	A	19981115	AT 1997-570	19970404
AT 405283	B	19990625		
CA 2283718	AA	19981015	CA 1998-2283718	19980402
AU 9874288	A1	19981030	AU 1998-74288	19980402
AU 731413	B2	20010329		
EP 973779	A1	20000126	EP 1998-921425	19980402
EP 973779	B1	20030702		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI				
TR 9902406	T2	20000221	TR 1999-9902406	19980402
BR 9809745	A	20000620	BR 1998-9745	19980402
JP 2000514833	T2	20001107	JP 1998-542358	19980402
JP 3421354	B2	20030630		
AT 244249	E	20030715	AT 1998-921425	19980402
NO 9904466	A	19990915	NO 1999-4466	19990915
US 6350869	B1	20020226	US 1999-381947	19990927
MX 9909045	A	20000228	MX 1999-9045	19991001
PRIORITY APPLN. INFO.:			AT 1997-570	A 19970404
			EP 1998-921425	A 19980402
			WO 1998-EP1953	W 19980402

AB A process for production of cefdinir in the form of a salt with

dicyclohexylamine, and its use in the purification of impure cefdinir is described.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1997:547291 CAPLUS

DOCUMENT NUMBER: 127:149040

TITLE: Process for preparation of cefdinir

INVENTOR(S): Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung

PATENT ASSIGNEE(S): Hanmi Pharmaceutical Co., Ltd., S. Korea; Lee, Gwan Sun; Chang, Young Kil; Chun, Jong Pil; Koh, Joon Hyung

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724358	A1	19970710	WO 1996-KR250	19961226
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
KR 174432	B1	19990218	KR 1995-58694	19951227
KR 174431	B1	19990218	KR 1995-58695	19951227
EP 874853	A1	19981104	EP 1996-943357	19961226
EP 874853	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000502700	T2	20000307	JP 1997-524230	19961226
AT 218572	E	20020615	AT 1996-943357	19961226
PT 874853	T	20020930	PT 1996-943357	19961226
ES 2175167	T3	20021116	ES 1996-943357	19961226
US 6093814	A	20000725	US 1998-68719	19980518
PRIORITY APPLN. INFO.:			KR 1995-58694	A 19951227
			KR 1995-58695	A 19951227
			WO 1996-KR250	W 19961226
OTHER SOURCE(S):			CASREACT 127:149040; MARPAT 127:149040	
GI				

/ Structure 18 in file .gra /

AB Cefdinir I (R = H), a cephalosporin antibiotic, was prepared in an excellent color and purity and with a good yield. Cefdinir was prepared by N-acylation of 7-amino-3-vinyl-3-cephem-4-carboxylic acid with thio ester II (Z = 2-benzothiazolylthio) and crystallization of the resulting ester with 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H and Me<sub>2</sub>NCOME to form crystals of I (R = CPh<sub>3</sub>). 4-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H.2Me<sub>2</sub>NCOME, which were then converted to cefdinir with the use of formic acid. Formation of the cefdinir amide linkage was also accomplished starting from phosphoryl ester II [Z = OP(O)(OEt)<sub>2</sub>].

L10 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1996:279255 CAPLUS

DOCUMENT NUMBER: 125:24811  
TITLE: Structural studies on an iron(III) complex containing  
(Z)-2-(2-aminothiazol-4-yl)-N-(2-hydroxyethyl)-2-  
(hydroxyimino)acetamide, a model compound for a  
cephalosporin antibiotic Cefdinir  
AUTHOR(S): Deguchi, Shuhei; Fujioka, Mamoru; Okamoto, Yoshihiko;  
Yasuda, Tsutomu; Nakamura, Nobuhumi; Yamaguchi,  
Kazuya; Suzuki, Shinnichiro  
CORPORATE SOURCE: Analytical Res. Lab., Fujisawa Pharmaceutical Co.,  
Ltd., Osaka, 532, Japan  
SOURCE: Journal of the Chemical Society, Dalton Transactions:  
Inorganic Chemistry (1996), (9), 1967-1971  
CODEN: JC DTBI; ISSN: 0300-9246  
PUBLISHER: Royal Society of Chemistry  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB (Z)-2-(2-Aminothiazol-4-yl)-N-(2-hydroxyethyl)-2-(hydroxyimino)acetamide  
(HL) has been employed as a model compound for a cephalosporin antibiotic,  
Cefdinir. A trinuclear Fe(III) complex [Fe<sub>3</sub>L<sub>6</sub>]Cl[OH]<sub>2</sub> (1) was obtained  
from a MeOH solution containing HL and FeCl<sub>3</sub> and its structure determined by  
x-ray

crystallog.: monoclinic, space group P2<sub>1</sub>/n, a 15.559(1), b 19.295(2), c  
10.963(1) Å, β 101.29(1)°, Z = 2. The mol. structure  
contains a linear Fe(1)-Fe(2)-Fe(1') arrangement, the central atom Fe(2)  
being an inversion center. Atom Fe(1) is coordinated to three mols. of L  
through the thiazole and oximate N atoms to form Fe(1)L<sub>3</sub>, and Fe(2) to six  
oximate O atoms of the two Fe(1)L<sub>3</sub> units. The two Fe(1)L<sub>3</sub> units are  
bridged by the central Fe atom Fe(2). The Moessbauer spectrum of 1 gave  
an apparent doublet signal consisting of two doublets, A and B, assigned  
to Fe(1) and Fe(2), resp. The isomer shifts δ of these doublets are  
the same (0.26 mm s<sup>-1</sup>), and are typical for high-spin Fe(III). The  
reflectance spectrum did not show any intervalence bands. These spectral  
data indicate that the three Fe atoms are high-spin Fe(III). The compound  
coordinates to Fe(III) via the thiazole ring N atom and the oximate N atom  
(2N mode) in MeOH which is different from that in H<sub>2</sub>O, where L prefers to  
coordinate to an Fe(III) through the oximate O atom and the amide O atom  
(2O mode).

L10 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1994:94531 CAPLUS  
DOCUMENT NUMBER: 120:94531  
TITLE: Research and development of new oral cepheids, cefixime  
and cefdinir  
AUTHOR(S): Sakane, Kazuo; Kawabata, Kohji; Inamoto, Yoshiko;  
Yamanaka, Hideaki; Takaya, Takao  
CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka,  
532, Japan  
SOURCE: Yakugaku Zasshi (1993), 113(9), 605-26  
CODEN: YKKZAJ; ISSN: 0031-6903  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: Japanese  
GI

/ Structure 19 in file .gra /

AB A review with 32 refs. on the structure-activity relationships, biol.  
properties and synthesis of two new oral cephalosporin antibiotics,

cefixime (I) and cefdinir (II). The antibacterial activity and mechanisms of intestinal absorption of I and II are described.

L10 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1993:22086 CAPLUS  
DOCUMENT NUMBER: 118:22086  
TITLE: Preparation of thiazoleacetic acid derivatives as intermediates for cephalosporins  
INVENTOR(S): Kobori, Takeo; Yamamoto, Rumi; Fujita, Mikako; Hiyama, Tamejiro; Nagate, Takatoshi  
PATENT ASSIGNEE(S): Sagami Chemical Research Center, Japan; Taisho Pharmaceutical Co., Ltd.  
SOURCE: Jpn. Kokai Tokkyo Koho, 8 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04173781	A2	19920622	JP 1990-298660	19901102
PRIORITY APPLN. INFO.: GI			JP 1990-298660	19901102

/ Structure 20 in file .gra /

AB The title compds., e.g., I, and their salts and reactive derivs. are prepared A mixture of HCO<sub>2</sub>H and AcOH were heated with stirring at 50°, and then treated with amino derivative II at room temperature to give 82% I, which was suspended in CH<sub>2</sub>Cl<sub>2</sub> and treated with POCl<sub>5</sub> at -5°, and the resultant and chloride was treated with cephem derivative III and bis(trimethylsilyl)acetamide in CH<sub>2</sub>Cl<sub>2</sub> at 5° to give 90% cephem amide derivative IV.

L10 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1992:550798 CAPLUS  
DOCUMENT NUMBER: 117:150798  
TITLE: Preparation of benzothiazolethiol esters as intermediates for cephalosporin derivatives  
INVENTOR(S): Kobori, Takeo; Yamamoto, Rumi; Fujita, Mikako; Hiyama, Tamejiro; Nagate, Takatoshi  
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan; Sagami Chemical Research Center  
SOURCE: PCT Int. Appl., 31 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9207840	A1	19920514	WO 1991-JP1482	19911030

W: CA, JP, KR, US  
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE  
PRIORITY APPLN. INFO.: JP 1990-298661 A 19901102  
OTHER SOURCE(S): MARPAT 117:150798  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Benzothiazolethiol esters (I; R1 = H, protecting group) are prepared as intermediates for antibacterial cephalosporin derivs. Tritylation of ClCH2COC(:NOH)CO2Et followed by cyclocondensation with thiourea gave 34% thiazole derivative II, which was saponified and then reacted with disulfide III in the presence of N-methylpyrrolidone, N-methylmorpholine, and (EtO)2P in MeCN at room temperature and 0° to give 63% syn-I (R1 = Ph3C) (IV). Reaction of IV with (Z)-V in THF at 25° gave 89% (Z)-syn-VI.

L10 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1991:163864 CAPLUS  
DOCUMENT NUMBER: 114:163864  
TITLE: Preparation of 3-alkenylcephemcarboxylates as antibiotics  
INVENTOR(S): Baker, Stephen Richard; Farina, Vittorio; Sapino, Chester, Jr.  
PATENT ASSIGNEE(S): Bristol-Myers Co., USA  
SOURCE: Ger. (East), 13 pp.  
CODEN: GEXXA8  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 280533	A5	19900711	DD 1988-327653	19880607
PRIORITY APPLN. INFO.:			DD 1988-327653	19880607
OTHER SOURCE(S):	MARPAT 114:163864			

GI

/ Structure 21 in file .gra /

AB The title compds. [I; Q = H, RCO; R = (cyclo)alkyl, alkenyl, (un)substituted (hetero)aryl, etc.; R1 = alkenyl, 4-(MeO)C6H4, etc.], their esters, salts, etc., were prepared by substitution of I (R1 = OSO2CF3) with R1SnBu3. Thus, the diphenylmethyl ester of I (Q = PhCH2CO) (II; R1 = OSO2CF3) (preparation given) was stirred 5.5 h at 50° and 16 h at room temperature with 4-(MeO)C6H4SnBu3 in 1-methyl-2-pyrrolidinone containing ZnCl2, tris(2-furyl)phosphine, and [(PhCH:CH)2CO]2Pd to give II [R1 = 4-(MeO)C6H4] which had MIC of 4 and 2 g/mL against Streptococcus faecalis and Escherichia coli, resp.

L10 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
ACCESSION NUMBER: 1990:423533 CAPLUS  
DOCUMENT NUMBER: 113:23533

TITLE: Preparation of 7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-cephem compounds  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02000790	A2	19900105	JP 1988-330966	19881228
ES 2013828	A6	19900601	ES 1989-46	19890105
KR 140887	B1	19980601	KR 1989-27	19890105
CA 1340604	A1	19990622	CA 1989-587693	19890106
PRIORITY APPLN. INFO.:			GB 1988-295	A 19880107
OTHER SOURCE(S):	MARPAT 113:23533			
GI				

/ Structure 22 in file .gra /

AB The title compds. [I; R1 = organic residue; R2 = (protected) CO<sub>2</sub>H; R3 = H, acyl] are prepared MeC(OSiMe<sub>3</sub>):NSiMe<sub>3</sub> and cephem II were dissolved in THF and stirred with syn-III (preparation given) at 0-5° to give 85.1% syn-I (R1 = vinyl, R2 = CO<sub>2</sub>H, R3 = Ac), which was hydrolyzed with NH<sub>4</sub>Cl in MeOH to give 70.0% syn-I (R1 = vinyl, R2 = CO<sub>2</sub>H, R3 = H).

L10 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN  
 ACCESSION NUMBER: 1990:216544 CAPLUS  
 DOCUMENT NUMBER: 112:216544  
 TITLE: Preparation of 3-alkenylcephemcarboxylates and analogs as antibiotics  
 INVENTOR(S): Baker, Stephen R.; Farina, Vittorio; Sapino, Chester, Jr.  
 PATENT ASSIGNEE(S): Bristol-Myers Co., USA  
 SOURCE: U.S., 11 pp.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4870168	A	19890926	US 1987-19396	19870226
CA 1340583	A1	19990608	CA 1988-564370	19880418
AU 602395	B2	19901011	AU 1988-14758	19880419
AU 8814758	A1	19891026		
NO 8801822	A	19891027	NO 1988-1822	19880426
NO 172584	B	19930503		
NO 172584	C	19930811		
JP 01313483	A2	19891218	JP 1988-134270	19880531
JP 2706090	B2	19980128		
PRIORITY APPLN. INFO.:			US 1987-19396	A 19870226
OTHER SOURCE(S):	CASREACT 112:216544; MARPAT 112:216544			
GI				

/ Structure 23 in file .gra /

AB The title compds. [I; Q = H, Me<sub>3</sub>CO<sub>2</sub>C, silyl protective group, acyl group of a known 7-acylamino cephalosporin antibiotic; R = H, Ph<sub>2</sub>CH; R<sub>1</sub> = aryl, heteroaryl, -alkynyl, (un)substituted 1-alkenyl, (un)conjugated 1-polyalkenyl] were prepared by substitution of I (R<sub>1</sub> = CF<sub>3</sub>SO<sub>2</sub>O) with, e.g., alkenyltrialkylstannanes. Thus, I (Q = PhCH<sub>2</sub>CO, R = Ph<sub>2</sub>CH, R<sub>1</sub> = CF<sub>3</sub>SO<sub>2</sub>O) (preparation given) was stirred 16 h with (Z)-MeCH:CHSnBu<sub>3</sub> in THF containing tri(2-furyl)phosphine, [(PhCH:CH)<sub>2</sub>CO]<sub>2</sub>Pd, and ZnCl<sub>2</sub> to give 65% title compound II which had MIC of 0.016 µg/mL against *Staphylococcus pyrogenes*.

L10 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1990:216543 CAPLUS  
DOCUMENT NUMBER: 112:216543  
TITLE: Preparation of 3-hydrocarbylcephemcarboxylates as antibiotics  
INVENTOR(S): Baker, Stephen Richard; Farina, Vittorio; Sapino, Chester, Jr.  
PATENT ASSIGNEE(S): Bristol-Myers Co., USA  
SOURCE: Ger. (East), 42 pp.  
CODEN: GEXXA8  
DOCUMENT TYPE: Patent  
LANGUAGE: German  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 270712	A5	19890809	DD 1988-316493	19880607
PRIORITY APPLN. INFO.:			DD 1988-316493	19880607

OTHER SOURCE(S): MARPAT 112:216543

GI For diagram(s), see printed CA Issue.

AB The title compds. [I; Q = H, RCO; R = (un)substituted C<sub>1</sub>-20 aryl, heteroaryl, alkyl, etc.; R<sub>1</sub> = 1-alkenyl, (un)conjugated polyalkenyl, 1-alkynyl, aryl, heteroaryl; R<sub>2</sub> = H, CHPh<sub>2</sub>] were prepared by condensation of I (R<sub>1</sub> = OSO<sub>2</sub>CF<sub>3</sub>) (II) with hydrocarbyltrialkylstannanes in the presence of a Pd compound and a phosphine. Thus, II (Q = PhCH<sub>2</sub>CO, R<sub>2</sub> = CHPh<sub>2</sub>) was stirred 19 h with Me<sub>2</sub>C:CHSnBu<sub>3</sub> in 1-methyl-2-pyrrolidinone containing ZnCl<sub>2</sub>, tri(2-furyl)phosphine and [(PhCH:CH)<sub>2</sub>CO]<sub>2</sub>Pd to give 66% title compound III which had MIC of 0.03 to >125 g/-mL against 13 organisms, e.g., 4 g/mL (sic) against *Streptococcus faecalis*.

L10 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:573838 CAPLUS  
DOCUMENT NUMBER: 111:173838  
TITLE: Synthesis and biological activity of a new cephalosporin, BMY-28232 and its prodrug-type esters for oral use  
AUTHOR(S): Kamachi, Hajime; Narita, Yukio; Okita, Takaaki; Abe, Yoshio; Iimura, Seiji; Tomatsu, Kozo; Yamasaki, Tetsuro; Okumura, Jun; Naito, Takayuki  
CORPORATE SOURCE: Tokyo Res. Cent., Bristol-Myers Res. Inst., Ltd., Tokyo, 153, Japan  
SOURCE: Journal of Antibiotics (1988), 41(11), 1602-16  
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 111:173838  
 GI

/ Structure 24 in file .gra /

AB BMY-28232 (I, R = R1 = H, R2 = Me) its 3-alkenyl analogs I (R = R1 = H, R2 = Et, H) and O-substituted derivs. I (R = Me, CHMe2CH2C.tplbond.CH, allyl, CH2CO2H, R1 = H, R2 = Me) were prepared. The oral pharmacokinetics and in vivo activities of (I, R = H, R1 = CHMeOAc, R2 = Me) and its analogs I (R = H, R1 = CHMeO2CR3, 5-methyl-2-oxo-1,3-dioxoben-4-ylmethyl; R2 = Me; R3 = cyclohexylmethyl, cyclohexyloxy, OEt) were determined. The 3-alkenyl groups were introduced by the Wittig reaction of the ylide prepared from the 3-chloromethylcephem to afford the Z and E isomers of the 3-side chain. The O-substituted derivs. were prepared by 7-N-acylation of the 7-aminocephem with the O-substituted side chain acids. The esters were prepared by esterification of BMY-25232. BMY-28232 was the most active among the 3-alkenyl analogs tested against Gram-neg. organisms and much more active than the O-substituted derivs. against Gram-pos. bacteria. BMY-28271 showed good oral bioavailability (66%) and good in vivo efficacy in mice against infections of Staphylococcus aureus Smith (PD50, 0.68 mg/kg) and Escherichia coli Juhl (0.54 mg/kg).

L10 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:496960 CAPLUS  
 DOCUMENT NUMBER: 111:96960  
 TITLE: Preparation of syn-7-[2-(2-aminothiazol-4-yl)-2-hydroxyiminoacetamido]-3-vinyl-3-cephem-4-carboxylic acid in a crystalline form  
 INVENTOR(S): Takaya, Takao; Shirai, Fumiyuki; Nakamura, Hitoshi; Inaba, Yasunobu  
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan  
 SOURCE: Eur. Pat. Appl., 18 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 304019	A2	19890222	EP 1988-113311	19880817
EP 304019	A3	19901227		
EP 304019	B1	19950531		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
ZA 8805709	A	19890426	ZA 1988-5709	19880803
US 4935507	A	19900619	US 1988-229489	19880808
JP 01250384	A2	19891005	JP 1988-202527	19880812
JP 06074276	B4	19940921		
AU 8820998	A1	19890223	AU 1988-20998	19880816
AU 617347	B2	19911128		
ES 2072856	T3	19950801	ES 1988-113311	19880817
CA 1297096	A1	19920310	CA 1988-575044	19880818
KR 9708126	B1	19970521	KR 1988-10489	19880818
PRIORITY APPLN. INFO.:			JP 1987-206199	A 19870819

GI

/ Structure 25 in file .gra /

AB The title compound (I) was prepared in a crystalline form and characterized by its

x-ray diffraction pattern. Cephemcarboxylate II (R1 = H, R2 = CPh2) was stirred 30 min at -10 to 0° with ClCH2COCH2COCl (preparation given) in AcNMe2 to give II (R1 = ClCH2COCH2CO, R2 = CPh2) which was stirred with NaNO2 in CH2Cl2 containing HOAc to give, after saponification, II [R1 = ClCH2COC(:NOH)CO, R2 = H]. The latter was stirred 6 h with (H2N)CS in H2O containing NaOAc maintained at pH 5.5-5.7 by addition of aqueous NH3 to give

after

chromatog. and acidification, crystallization I.

L10 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1989:94788 CAPLUS

DOCUMENT NUMBER: 110:94788

TITLE: FK 482; a new orally active cephalosporin. Synthesis and biological properties

AUTHOR(S): Inamoto, Yoshiko; Chiba, Toshiyuki; Kamimura, Toshiaki; Takaya, Takao

CORPORATE SOURCE: New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan

SOURCE: Journal of Antibiotics (1988), 41(6), 828-30  
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): .CASREACT 110:94788

GI

/ Structure 26 in file .gra /

AB FK 482 (I) was prepared from the aminocephem by reaction with BrCH2COCH2COBr, nitrosation, and cyclization with thiourea. I has superior bactericidal activity to cefixime, cefaclor, and amoxicillin.

=&gt; d his ful

L1 ( 1)SEA ABB=ON CEFDINIR/CN  
 L2 ( 448)SEA ABB=ON L1 OR ?CEFDINIR?  
 L3 ( 102)SEA ABB=ON L2 AND (?PREP? OR ?SYNTH? OR ?PURIF? OR ?CRYSTALLIZ  
 ?)  
 L4 ( 89)SEA ABB=ON L3 AND ?PREP?  
 L5 83 SEA ABB=ON L4 AND (PRD<20030912 OR PD<20030912)  
 -----

L6 FILE 'CASREACT' ENTERED AT 10:20:23 ON 26 JUL 2005  
 12 SEA ABB=ON L2 AND ?PREP? *12 cit's from CasReact*

L7 FILE 'REGISTRY' ENTERED AT 10:21:12 ON 26 JUL 2005  
 1 SEA ABB=ON CEFDINIR/CN

L8 FILE 'HCAPLUS' ENTERED AT 10:21:24 ON 26 JUL 2005  
 448 SEA ABB=ON L7 OR ?CEFDINIR?  
 L9 63 SEA ABB=ON L8(L)?PREP?

L10 FILE 'CAPLUS' ENTERED AT 10:25:18 ON 26 JUL 2005  
 32 SEA ABB=ON 91832-40-5/BPN,CPN,PNU,PUR,SPN *32 cit's from CA Plus*

FILE HCAPLUS

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 26 Jul 2005 VOL 143 ISS 5

FILE LAST UPDATED: 25 Jul 2005 (20050725/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE CASREACT

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE CONTENT:1840 - 24 Jul 2005 VOL 143 ISS 4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

\*\*\*\*\*  
 \*  
 \* CASREACT now has more than 9.2 million reactions \*  
 \*  
 \*\*\*\*\*

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

#### FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JUL 2005 HIGHEST RN 856925-80-9

DICTIONARY FILE UPDATES: 25 JUL 2005 HIGHEST RN 856925-80-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

\*\*\*\*\*

\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\*

\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:

<http://www.cas.org/ONLINE/DBSS/registryss.html>

#### FILE CAPLUS

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 26 Jul 2005 VOL 143 ISS 5

FILE LAST UPDATED: 25 Jul 2005 (20050725/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.